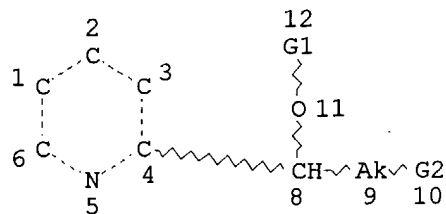


10/684146

(FILE 'REGISTRY' ENTERED AT 10:17:29 ON 10 AUG 2004)

L1

STR



O~S~Ak  
@13 14 15

O~S~Cb  
@16 17 18

VAR G1=CY/SI

VAR G2=X/13/16

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

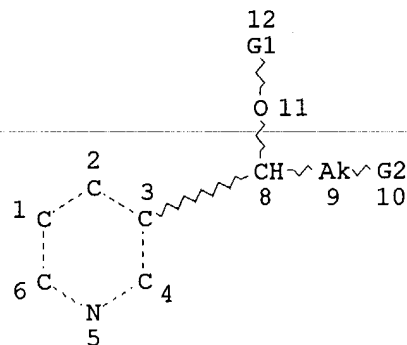
GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE

L2 STR



O~S~Ak  
@13 14 15

O~S~Cb  
@16 17 18

VAR G1=CY/SI

VAR G2=X/13/16

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

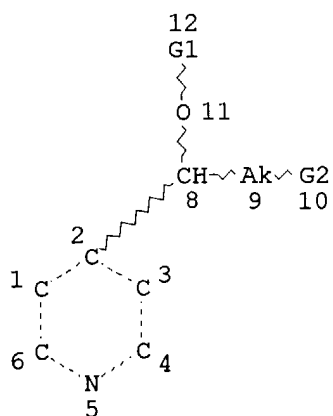
RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE

L3 STR

10/684146



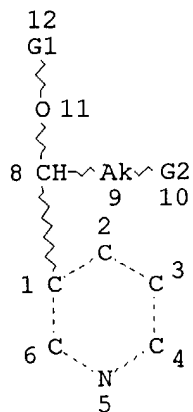
O~S~Ak  
@13 14 15

O~S~Cb  
@16 17 18

VAR G1=CY/SI  
VAR G2=X/13/16  
NODE ATTRIBUTES:  
DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE  
L4 STR



O~S~Ak  
@13 14 15

O~S~Cb  
@16 17 18

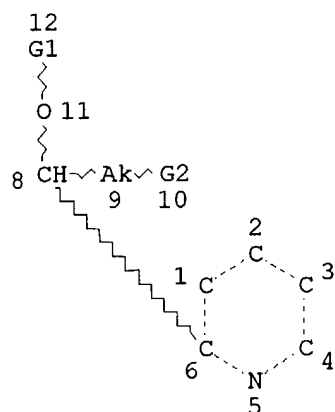
VAR G1=CY/SI  
VAR G2=X/13/16  
NODE ATTRIBUTES:  
DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE

10/684146

L5 STR



O~S~Ak  
@13 14 15

O~S~Cb  
@16 17 18

VAR G1=CY/SI  
VAR G2=X/13/16  
NODE ATTRIBUTES:  
DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 17

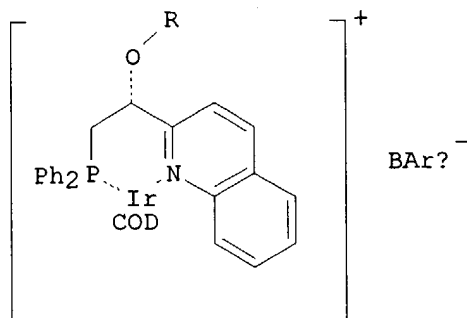
STEREO ATTRIBUTES: NONE  
L6 12 SEA FILE=REGISTRY SSS FUL L1 OR L2 OR L3 OR L4 OR L5

100.0% PROCESSED 573179 ITERATIONS  
SEARCH TIME: 00.00.12

12 ANSWERS

FILE 'CAPLUS' ENTERED AT 11:43:04 ON 10 AUG 2004  
L7 16 S L6

L7 ANSWER 1 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 2004:14394 CAPLUS  
DOCUMENT NUMBER: 140:217793  
TITLE: Synthesis of versatile chiral N,P ligands derived from  
pyridine and quinoline  
AUTHOR(S): Drury, William J., III; Zimmermann, Nicole; Keenan,  
Martine; Hayashi, Masahiko; Kaiser, Stefan; Goddard,  
Richard; Pfaltz, Andreas  
CORPORATE SOURCE: Department of Chemistry, University of Basel, Basel,  
Switz.  
SOURCE: Angewandte Chemie, International Edition (2004),  
Volume Date 2003, 43(1), 70-74  
CODEN: ACIEF5; ISSN: 1433-7851  
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 140:217793  
GI



I

AB Potent transition-metal complexes, e.g. I (BARF = tetrakis(3,5-bis(trifluoromethyl)phenyl)borate; R = Si(t-Bu)Me<sub>2</sub>, Si(iPr)<sub>3</sub>, Si(t-Bu)Ph<sub>2</sub>), for asym. catalysis are formed from readily accessible N,P ligands constructed from basic N-heteroaryl building blocks. Their simple assembly should not be misconstrued: they possess several handles by which to tune both steric and electronic parameters. The potential of these ligands is demonstrated by the high levels of enantioselection they induce in such divergent processes as asym. hydrogenation and the Heck reaction. The crystal structures of I were determined

IT **664994-92-7P**

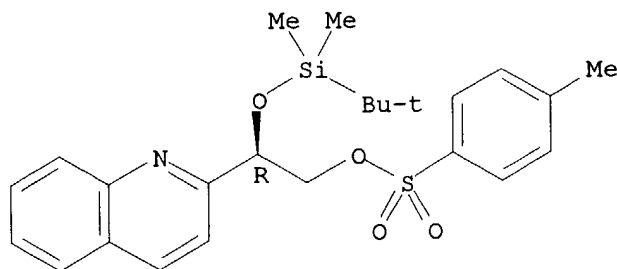
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of versatile chiral iminophosphine ligands derived from pyridine and quinoline and their cationic iridium complexes for asym. catalysis)

RN 664994-92-7 CAPLUS

CN 2-Quinolineethanol, β-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]-, 4-methylbenzenesulfonate (ester), (βR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT:

17

THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:696892 CAPLUS

DOCUMENT NUMBER: 139:219360

TITLE: Crystal forms of (R)-2-(2-(4-oxazol-4-

Searcher :

Shears

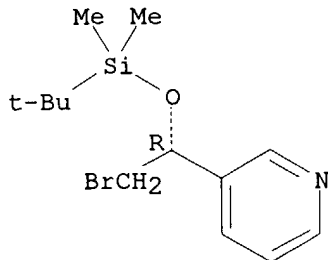
571-272-2528

10/684146

INVENTOR(S): ylphenoxy)ethylamino)-1-pyridin-3-ylethanol  
 Krzyzaniak, Joseph Francis; Lafontaine, Jennifer Anne  
 PATENT ASSIGNEE(S): Pfizer Products Inc., USA  
 SOURCE: PCT Int. Appl., 35 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003072573	A1	20030904	WO 2003-IB737	20030217
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003199556	A1	20031023	US 2003-373492	20030225
PRIORITY APPLN. INFO.:			US 2002-360252P	P 20020227
AB The present invention provides an anhydrous crystal form of (R)-2-(2-(4-oxazol-4-ylphenoxy)ethylamino)-1-pyridin-3-ylethanol tosylate (I) and a crystal form of the monohydrate of such a tosylate salt, processes useful in the preparation of the crystal forms, pharmaceutical composition comprising the crystal forms, methods of treating $\beta$ 3-adrenergic receptor-mediated diseases in a mammal using such crystal forms. Thus, (R)-2-(2-(4-oxazol-4-ylphenoxy)ethylamino)-1-pyridin-3-ylethanol in MeOH was treated with p-toluenesulfonic acid monohydrate to give I. The crystal structure of I was determined IT <b>591214-75-4P</b> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (crystal forms of (oxazolylphenoxy)ethylaminopyridinyethanol) RN 591214-75-4 CAPLUS CN Pyridine, 3-[(1R)-2-bromo-1-[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]- (9CI) (CA INDEX NAME)				

Absolute stereochemistry.



10/684146

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:696890 CAPLUS

DOCUMENT NUMBER: 139:230764

TITLE: Preparation of (R)-2-(2-(4-oxazol-4-ylphenoxy)ethylamino)-1-pyridin-3-ylethanol tosylate and tosylate hydrate as a  $\beta$ 3-adrenergic receptor agonists

INVENTOR(S): Krzyzaniak, Joseph Francis; Lafontaine, Jennifer Anne

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: PCT Int. Appl., 31 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003072571	A1	20030904	WO 2003-IB575	20030217
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: US 2002-360248P P 20020227  
 AB (R)-2-(2-(4-oxazol-4-ylphenoxy)ethylamino)-1-pyridin-3-ylethanol tosylate and tosylate hydrate were prepared as  $\beta$ 3-adrenergic receptor agonists (no data). Thus, a stirred mixture of (R)-3-[2-bromo-1-(tert-butyl)dimethylsilyl]ethylpyridine, 2-(4-oxazol-4-ylphenoxy)ethylamine, and (Me<sub>2</sub>CH)<sub>2</sub>NH in Me<sub>2</sub>SO was heated at about 90° for 18 h to give 56% (R)-[2-(tert-butyl)dimethylsilyloxy-2-pyridin-3-ylethyl]-[2-(4-oxazol-4-ylphenoxy)ethyl]amine. This was stirred with Bu<sub>4</sub>NF in THF to give 52% deprotected product, which was stirred with TsOH.H<sub>2</sub>O in MeOH to give 74% (R)-2-[2-(4-oxazol-4-ylphenoxy)ethylamino]-1-pyridin-3-ylethanol tosylate.

IT 591214-75-4P

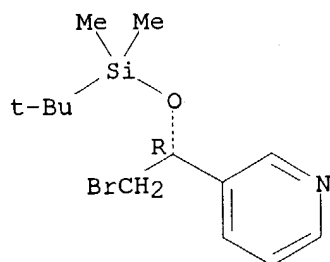
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of oxazolylphenoxyethylaminopyridinylethanol tosylate as a  $\beta$ 3-adrenergic receptor agonist)

RN 591214-75-4 CAPLUS

CN Pyridine, 3-[(1R)-2-bromo-1-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/684146



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:696869 CAPLUS

DOCUMENT NUMBER: 139:230762

TITLE: Process for preparation of (R)-2-[2-(4-azolyphenoxy)ethylamino]-1-pyridin-3-ylethanols via fermentation of 2-bromo-1-pyridin-3-ylethanone to (R)-2-bromo-1-pyridin-3-ylethanol using Absidia cylindrospora ATCC 22751.

INVENTOR(S): Chambers, Robert James; Dugger, Robert Wayne; Kang, Ming; Tao, Yong; Wong, John Wing

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: PCT Int. Appl., 30 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

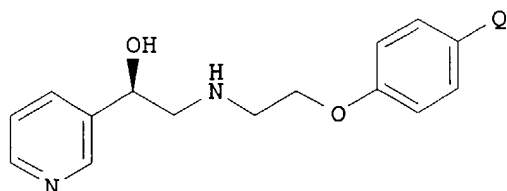
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003072547	A1	20030904	WO 2003-IB561	20030217
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2003199046	A1	20031023	US 2003-370793	20030220
US 6689888	B2	20040210		
US 2004077871	A1	20040422	US 2003-682762	20031009
PRIORITY APPLN. INFO.:			US 2002-360286P	P 20020227
			US 2003-370793	A3 20030220
OTHER SOURCE(S):		CASREACT 139:230762; MARPAT 139:230762		
GI				

10/684146



I

AB Title compds. (I; Q = oxazolyl, pyrazolyl, thiazolyl) were prepared by (1) reduction of 2-bromo-1-pyridin-3-ylethanone using *Absidia cylindrospora* ATCC 22751 to give (R)-2-bromo-1-pyridin-3-ylethanol, (2) protection of the latter, (3) coupling of the O-protected derivative with H<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>C<sub>6</sub>H<sub>4</sub>Q-4, and

(4) deprotection. Thus, 2-bromo-1-pyridin-3-ylethanone hydrobromide was contacted with cultures of *Absidia cylindrospora* ATCC 22751 to give 9.6% (R)-2-bromo-1-pyridin-3-ylethanol in >91.2% enantiomeric excess. The latter was silylated with tert-butyldimethylsilyl chloride (58%) and the product was heated with 2-(4-oxazol-4-ylphenoxy)ethylamine and diisopropylethylamine in Me<sub>2</sub>SO at about 90° for about 18 h to give 56% (R)-(2-tert-butyldimethylsilanoxy-2-pyridin-3-ylethyl)[2-(4-oxazol-4-ylphenoxy)ethyl]amine. Deprotection with Bu<sub>4</sub>NF in THF gave 52% (R)-2-[2-(4-oxazol-4-ylphenoxy)ethylamino]-1-pyridin-3-ylethanol in >99.9% excess.

IT **591214-75-4P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(process for preparation of azolylphenoxyethylaminopyridinylethanol via fermentation of pyridinylbromoethanone to bromopyridinylethanol using

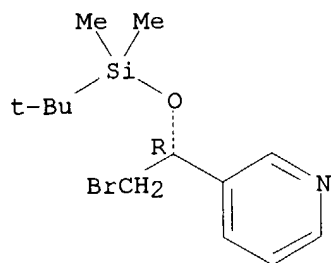
*Absidia*

*cylindrospora* ATCC 22751)

RN 591214-75-4 CAPLUS

CN Pyridine, 3-[(1R)-2-bromo-1-[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

15

THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 5 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:696544 CAPLUS

DOCUMENT NUMBER: 139:219332

Searcher :

Shears

571-272-2528



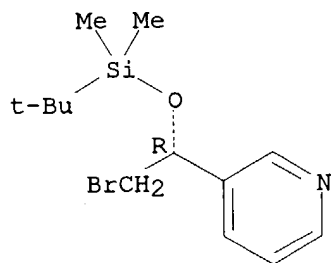
10/684146

TITLE: preparation of (oxazolyphenoxyethylamino)pyridinethanol for treatment of  $\beta$ 3-adrenergic receptor-mediated diseases  
 INVENTOR(S): Krzyaniak, Joseph F.; Lafontaine, Jennifer A.  
 PATENT ASSIGNEE(S): Pfizer Inc., USA  
 SOURCE: U.S. Pat. Appl. Publ., 13 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003166686	A1	20030904	US 2003-373473	20030225
US 6689800	B2	20040210		
US 2003199556	A1	20031023	US 2003-373492	20030225
			US 2002-360252P	P 20020227

PRIORITY APPLN. INFO.:  
 AB The present invention provides (R)-2-(2-(4-oxazol-4-yl-phenoxy)ethylamino)-1-pyridin-3-ylethanol tosylate salt (I), the monohydrate of such salt, processes useful in the preparation of these compds., pharmaceutical compns. comprising them, and methods of treating  $\beta$ 3-adrenergic receptor-mediated diseases, conditions, and disorders by using theses compds. Thus, (R)-2-(2-(4-oxazol-4-yl-phenoxy)ethylamino)-1-pyridin-3-ylethanol in MeOH was treated with p-toluenesulfonic acid monohydrate to give I.  
 IT **591214-75-4P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of (oxazolyphenoxyethylamino)pyridinethanol for treatment of  $\beta$ 3-adrenergic receptor-mediated diseases)  
 RN 591214-75-4 CAPLUS  
 CN Pyridine, 3-[(1R)-2-bromo-1-[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



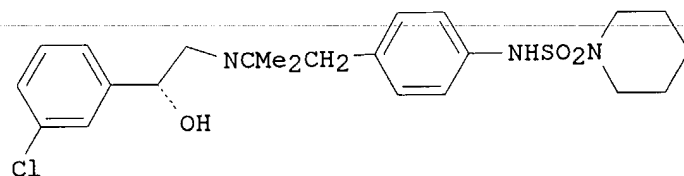
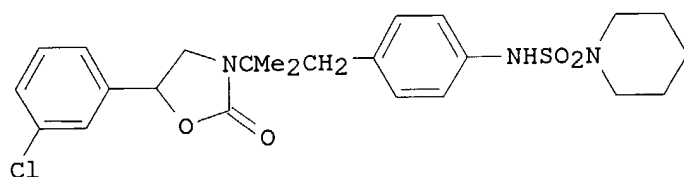
L7 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2002:671909 CAPLUS  
 DOCUMENT NUMBER: 137:201144  
 TITLE: Sulfamide derivatives useful as  $\beta$ 3 agonists  
 INVENTOR(S): Dow, Robert Lee; Paight, Ernest Sidney, Jr.  
 PATENT ASSIGNEE(S): Pfizer Products Inc., USA

Searcher : Shears 571-272-2528

10/684146

SOURCE: Eur. Pat. Appl., 41 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1236723	A1	20020904	EP 2002-251221	20020222
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2002326983	A2	20021115	JP 2002-51109	20020227
US 2002128247	A1	20020912	US 2002-86588	20020228
BR 2002000626	A	20030715	BR 2002-626	20020301
PRIORITY APPLN. INFO.:			US 2001-272681P	P 20010301
OTHER SOURCE(S):	MARPAT 137:201144			
GI				



AB Sulfamides ROCHArCH<sub>2</sub>NR<sub>1</sub>CR<sub>2</sub>R<sub>3</sub>CH<sub>2</sub>XC<sub>6</sub>H<sub>4</sub>NR<sub>5</sub>SO<sub>2</sub>NR<sub>6</sub>R<sub>7</sub> [Ar = (un)substituted aryl, heteroaryl; R = H, protective group; R<sub>1</sub> = H, alkyl, protective group; RR<sub>1</sub> = bond; R<sub>2</sub>, R<sub>3</sub>, R<sub>5</sub> = H, alkyl; R<sub>6</sub>, R<sub>7</sub> = h, (un)substituted alkyl, cycloalkyl, heterocyclic, aryl, heteroaryl; NR<sub>6</sub>R<sub>7</sub> = cyclic amino; X = bond, O, S, S(O), SO<sub>2</sub>, (un)substituted NH; in which the benzene ring may be further substituted by halogen, CN, (un)substituted alkyl, alkoxy] were prepared for use in the treatment of diseases dependent on the signaling pathways associated with  $\beta$ -adrenergic receptors, such as obesity, diabetes, hypertension, gastrointestinal hypo- or hyper-motility and cardiovascular diseases. Thus, 4-O<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>CMe<sub>2</sub>NH<sub>2</sub> was treated with (R)-3-chlorostyrene oxide, cyclized to the nitrophenyloxazolidinone, the nitro group reduced to amine, and treated with 1-piperidinesulfamoyl chloride to give the oxazolidine I which was treated with KOH to give the title compound II. All the products showed selective  $\beta$ 3-adrenergic activity.

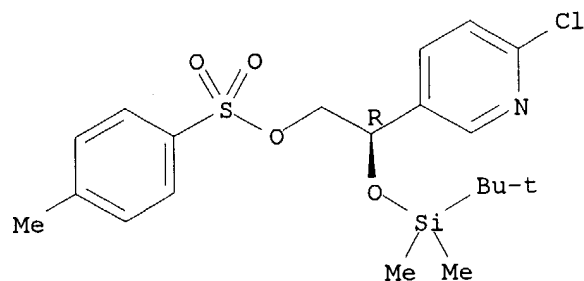
IT **364080-73-9P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of sulfamides with selective  $\beta$ 3 agonist activity)

10/684146

RN 364080-73-9 CAPLUS  
 CN 3-Pyridineethanol, 6-chloro- $\beta$ -[[[(1,1-dimethylethyl)dimethylsilyl]oxy]-  
 , 4-methylbenzenesulfonate (ester), ( $\beta$ R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 7 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2002:314938 CAPLUS  
 DOCUMENT NUMBER: 136:340674  
 TITLE: Alpha-aryl ethanolamines and their use as beta-3  
 adrenergic receptor agonists, for treatment of  
 diseases and disorders, for increasing lean meat  
 content in animals, and for use in combination with  
 other antiobesity agents  
 INVENTOR(S): Day, Robert Francis; Lafontaine, Jennifer Anne  
 PATENT ASSIGNEE(S): Pfizer Products Inc., USA  
 SOURCE: PCT Int. Appl., 101 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

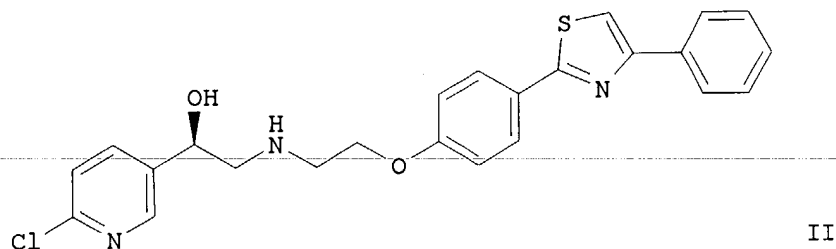
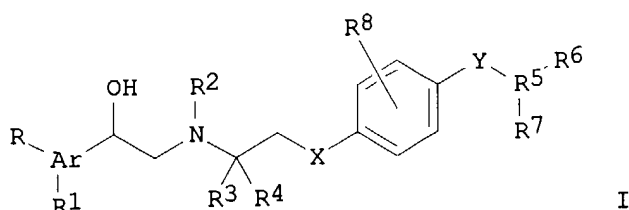
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002032897	A1	20020425	WO 2001-IB1847	20011004
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2001092161	A5	20020429	AU 2001-92161	20011004
BR 2001014836	A	20030701	BR 2001-14836	20011004
EP 1326861	A1	20030716	EP 2001-972390	20011004
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
EE 200300191	A	20031015	EE 2003-191	20011004
JP 2004511555	T2	20040415	JP 2002-536279	20011004
US 2002052392	A1	20020502	US 2001-981551	20011017

Searcher : Shears 571-272-2528

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US 6566377	B2	20030520		
US 2003203913	A1	20031030	US 2003-379976	20030305
US 6706743	B2	20040316		
BG 107652	A	20031128	BG 2003-107652	20030320
NO 2003001573	A	20030416	NO 2003-1573	20030408
HR 2003000297	A1	20030831	HR 2003-297	20030415
PRIORITY APPLN. INFO.:			US 2000-242274P	P 20001020
			WO 2001-IB1847	W 20011004
			US 2001-981551	A3 20011017

OTHER SOURCE(S): MARPAT 136:340674  
GI



AB The invention provides  $\beta_3$ -adrenergic receptor agonists (no data) of structural formula I [wherein Ar = pyridyl, oxazolyl, thiazolyl, or Ph; R = H, OH, oxo, halo, CF<sub>3</sub>, alkyl, alkoxy, cycloalkyl, NH<sub>2</sub> or certain derivs., sulfonyl groups; R<sub>1</sub> = H, alkyl, halo, alkoxy, OH; R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub> = H, alkyl; R<sub>5</sub> = 5- or 6-membered heterocycle with 1-4 N/O/S atoms; R<sub>6</sub>, R<sub>7</sub> = H, halo, cyano, oxo, acyl, CO<sub>2</sub>H or derivs., OH, NH<sub>2</sub> or derivs., (un)substituted alkyl, etc.; R<sub>8</sub> = H, alkyl, halo; X = direct bond or O; Y = direct bond, alkylene, OCH<sub>2</sub>, CH<sub>2</sub>O, or O; with provisos], as well as the stereoisomers and prodrugs thereof, and the pharmaceutically acceptable salts of the compds., stereoisomers, and prodrugs. The invention further provides intermediates useful in the preparation of I, as well as therapeutic combinations of I and/or their stereoisomers/prodrugs/salts, with (other) anti-obesity agents. Over 60 invention compds. and 40 intermediates are named individually in claims. Exemplary preps. of many intermediates and several invention compds. are given. For instance, reaction of (R)-2-chloro-5-oxiranylpyridine with 2-[4-(4-phenylthiazol-2-yl)phenoxy]ethylamine (preparation given) in EtOH at 80° gave 50% title compound (R)-II.

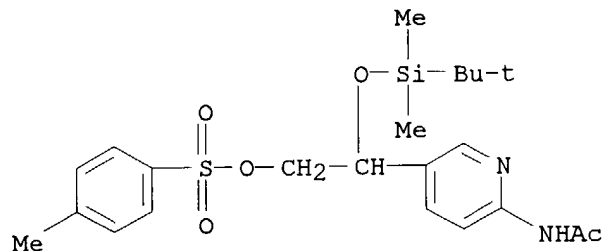
IT **416860-25-8**, Toluene-4-sulfonic acid 2-(6-(acetylamino)pyridin-3-yl)-2-[(tert-butyldimethylsilyl)oxy]ethyl ester

10/684146

RL: RCT (Reactant); RACT (Reactant or reagent)  
(precursor; preparation of  $\alpha$ -arylethanolamines as  $\beta$ 3-adrenergic  
receptor agonists, useful as drugs and agents for increasing lean meat  
content in animals)

RN 416860-25-8 CAPLUS

CN Acetamide, N-[5-[1-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-[[4-  
methylphenyl)sulfonyl]oxy]ethyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:729769 CAPLUS

DOCUMENT NUMBER: 135:288694

TITLE: Processes for preparing substituted pyridines, useful  
as intermediates for  $\beta$ -adrenergic receptor  
agonists

INVENTOR(S): Dow, Robert Lee; Schneider, Steven Roy

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: Eur. Pat. Appl., 57 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1138685	A2	20011004	EP 2001-302635	20010321
EP 1138685	A3	20030402		
EP 1138685	B1	20040519		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
AT 267204	E	20040615	AT 2001-302635	20010321
US 2002077478	A1	20020620	US 2001-820137	20010328
US 6518431	B2	20030211		
ZA 2001002538	A	20020930	ZA 2001-2538	20010328
CA 2342571	AA	20010930	CA 2001-2342571	20010329
BR 2001001280	A	20011106	BR 2001-1280	20010330
JP 2001316393	A2	20011113	JP 2001-100321	20010330
RU 2223956	C2	20040220	RU 2001-108594	20010330
CN 1320596	A	20011107	CN 2001-112348	20010402
US 2003114670	A1	20030619	US 2002-317720	20021212
US 6670480	B2	20031230		

Searcher : Shears 571-272-2528

10/684146

US 2004133005 A1 20040708 US 2003-684146 20031010  
PRIORITY APPLN. INFO.: US 2000-193772P P 20000331  
US 2001-820137 A3 20010328  
US 2002-317720 A3 20021212  
OTHER SOURCE(S): CASREACT 135:288694; MARPAT 135:288694  
GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Several processes for preparing various pyridine derivs. are claimed. The products are used as intermediates in the synthesis of known  $\beta$ -adrenergic receptor agonists. In particular, the halide and sulfonate ester intermediates I are prepared, and are used in the synthesis of the amino alcs. II [wherein n = 0-3; R1 = H, halo; R2 = H, halo, CF3, cyano, SR4, OR4, SO2R4, OCOR5, (un)substituted alkyl; R3 = tetrahydrofuranyl, tetrahydropyranyl, or silyl protecting group; X = halo, OSO3Me, OSO2Ph, OSO2C6H4Me-p, OSO2C6H4NO2-m, OSO2C6H4NO2-p; R4, R5 = H, (un)substituted alkyl, alkoxy, (hetero)cycloalkyl, (hetero)aryl; or R5 = N(R4)2; R6 = COR7 or CO2R7; R7 = alkyl; Y = sidechains containing specified benzene, indene, benzofuran, indole, benzimidazole, and analogous aromatic nuclei]. For example, 2-chloro-5-cyanopyridine was reduced with Dibal-H to give the 5-aldehyde, which was methylenated with Ph3P+MeBr- and KOBu-tert to give 2-chloro-5-vinylpyridine. The vinyl compound was dihydroxylated with AD-mix- $\beta$  to give the (R)-diol, which was O-tosylated with p-MeC6H4SO2Cl and then silylated with tert-BuSiMe2Cl to give the intermediate III. Coupling of III with 4-nitrophenethylamine,

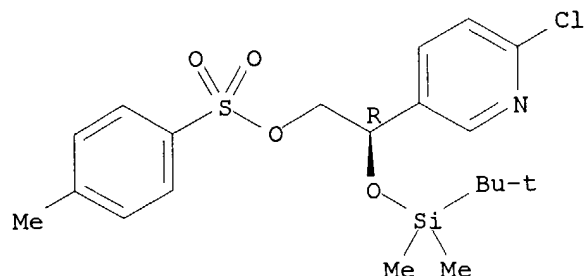
with concomitant dechlorination gave the final, silylated intermediate IV.

IT **364080-73-9P 364080-77-3P**  
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(intermediate; processes for preparing substituted pyridines useful as intermediates for  $\beta$ -adrenergic receptor agonists)

RN 364080-73-9 CAPLUS

CN 3-Pyridineethanol, 6-chloro- $\beta$ -[[(1,1-dimethylethyl)dimethylsilyl]oxy]-, 4-methylbenzenesulfonate (ester), ( $\beta$ R)- (9CI) (CA INDEX NAME)

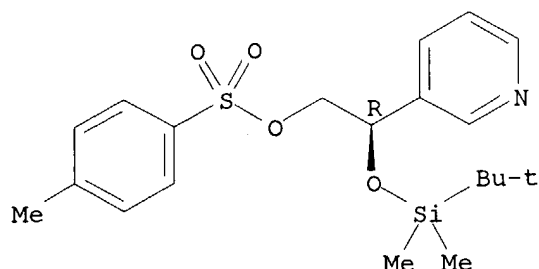
Absolute stereochemistry.



RN 364080-77-3 CAPLUS

CN 3-Pyridineethanol,  $\beta$ -[[[(1,1-dimethylethyl)dimethylsilyl]oxy]-, 4-methylbenzenesulfonate (ester), (BR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 9 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:669980 CAPLUS

DOCUMENT NUMBER: 135:357834

TITLE: Synthesis and fungicidal activity of a series of novel aryloxylepidines

AUTHOR(S): Kirby, Neil V.; Daeuble, John F.; Davis, L. Navelle; Hannum, Anna C.; Hellwig, Karin; Lawler, Lori K.; Parker, Marshall H.; Pieczko, Mary E.

CORPORATE SOURCE: Dow AgroSciences LLC, Indianapolis, IN, 46268-1054, USA

SOURCE: Pest Management Science (2001), 57(9), 844-851  
CODEN: PMSCFC; ISSN: 1526-498X

PUBLISHER: John Wiley & Sons Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 135:357834

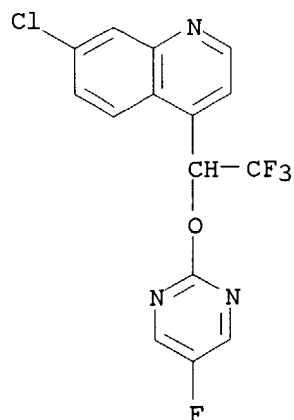
AB A series of novel (hetero)aryloxylepidine derivs. was devised as hybrid structures of the phenoxyquinoline and phenethoxyquin(az)oline fungicides. Synthesis of these targets required the development of several new routes to derivatized 4-hydroxymethylquinolines, and subsequent coupling with phenols or haloarenes. The aryloxylepidines generally showed moderate broad-spectrum fungicidal activity across several diseases of cereals. Substitution of the quinoline ring with chlorine at the 7- and/or 5-positions gave mols. with high levels of protectant activity against Erysiphe graminis f sp tritici (powdery mildew of wheat), but this did not improve the level of fungicidal activity against other diseases. In vitro activity against mitochondrial electron transport complex I (MET) derived from Ustilago maydis showed that 8-fluorolepidine analogs were moderately active at this target site, while the more fungicidally active 7- and 5,7-substituted compds. were inactive. This indicates that MET is not the primary target of these highly active powdery mildewicides.

IT 203261-23-8P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and fungicidal activity of aryloxylepidines)

RN 203261-23-8 CAPLUS

CN Quinoline, 7-chloro-4-[2,2,2-trifluoro-1-[(5-fluoro-2-pyrimidinyl)oxy]ethyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 10 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2001:636031 CAPLUS  
 DOCUMENT NUMBER: 135:210828  
 TITLE: Preparation of novel phenylheteroalkylamines as inhibitors of nitric oxide synthase  
 INVENTOR(S): Birkinshaw, Tim; Cheshire, David; Mete, Antonio  
 PATENT ASSIGNEE(S): Astrazeneca AB, Swed.  
 SOURCE: PCT Int. Appl., 88 pp.  
 CODEN: PIXXD2

DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001062713	A1	20010830	WO 2001-SE370	20010220
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001034313	A5	20010903	AU 2001-34313	20010220
EP 1263714	A1	20021211	EP 2001-906490	20010220
EP 1263714	B1	20040428		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003523992	T2	20030812	JP 2001-561723	20010220
AT 265422	E	20040515	AT 2001-906490	20010220
US 2003105161	A1	20030605	US 2002-204815	20020822
US 6743939	B2	20040601		
PRIORITY APPLN. INFO.:			GB 2000-4149	A 20000223



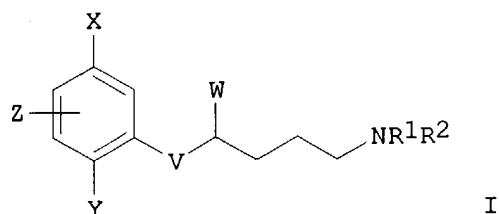
10/684146

WO 2001-SE370

W 20010220

OTHER SOURCE(S):  
GI

MARPAT 135:210828



AB The title compds. [I; X, Y = alkyl, alkoxy, halo, etc.; Z = H, F; V = O, SOn, NR3; W = alkyl, alkenyl, Ph, etc.; R1, R2 = H, alkyl, cycloalkyl, etc.; NR1R2 = (un)substituted 4-8 membered saturated azacyclic ring optionally incorporating one further heteroatom selected from O, S or NR8, 5-membered aromatic azacyclic ring optionally incorporating one further N atom; R3 = H, alkyl; R8 = H, alkyl, etc.; n = 0-2] and their pharmaceutically acceptable salts which are inhibitors of nitric oxide synthase and are thereby particularly useful in the treatment or prophylaxis of inflammatory disease and pain, were prepared E.g., a 4-step synthesis of (1R)-I.oxalate [X = Cl; Y = CN; Z = H; V = O; W = Ph; R1 = H; R2 = Me] was given. The exemplified compds. I (with the exception of one) showed IC50 of < 40  $\mu$ M against nitric oxide synthase.

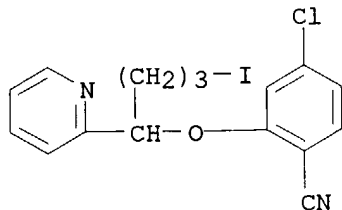
IT 357443-84-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of novel phenylheteroalkylamines as inhibitors of nitric oxide synthase)

RN 357443-84-6 CAPLUS

CN Benzonitrile, 4-chloro-2-[4-iodo-1-(2-pyridinyl)butoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

13

THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:639179 CAPLUS

DOCUMENT NUMBER: 133:222605

Searcher :

Shears

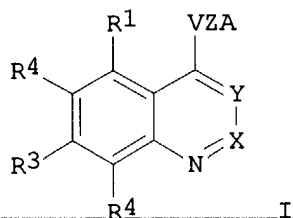
571-272-2528

10/684146

TITLE: Preparation of 4-substituted quinolines as plant fungicides.  
 INVENTOR(S): Daeuble, John; Davis, L. Navell; Hellwig, Karin; Kirby, Neil; Parker, Marshall H.; Pieczko, Mary; Thomason, Lori K.  
 PATENT ASSIGNEE(S): USA  
 SOURCE: U.S., 13 pp.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6117884	A	20000912	US 1997-904282	19970731
PRIORITY APPLN. INFO.:			US 1997-904282	19970731
OTHER SOURCE(S):	MARPAT	133:222605		

GI



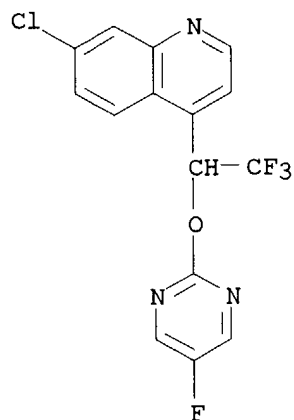
AB Title compds. [I; X = CR5; Y = CR51; Z = O, S, SO, SO2, NR6, CR7R8; R1-R4 = H, OH, NO2, halo, iodo, alkyl, alkoxy, haloalkyl, etc.; V = CR7R8; A = (unsatd.) (substituted) (heteroatom-interrupted) hydrocarbyl, cycloalkyl, Ph, furyl, pyridyl, pyrimidinyl, naphthyl, pyrazolyl, etc.; R5 = H, Cl, Me; R51 = H, Cl, Br; R6 = H, alkyl, acyl; R7, R8 = H, alkyl, alkenyl, acyl, cyano, OH; R7R8C = carbocyclyl], were prepared Thus, 4-bromomethyl-8-chloroquinoline was stirred overnight with NaH and 4-fluorophenol in THF to give 51.2% 4-[(4-fluorophenoxy)methyl]-8-chloroquinoline. Several I at 6.25-400 ppm gave 50-100% control of Erysiphe graminis on wheat seedlings.

IT **203261-23-8P**  
 RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of 4-substituted quinolines as plant fungicides)

RN 203261-23-8 CAPLUS

CN Quinoline, 7-chloro-4-[2,2,2-trifluoro-1-[(5-fluoro-2-pyrimidinyl)oxy]ethyl]- (9CI) (CA INDEX NAME)

10/684146



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 2000:259769 CAPLUS  
DOCUMENT NUMBER: 132:279118  
TITLE: Preparation of 2-aminopyridines as drug intermediates  
INVENTOR(S): Devries, Keith Michael; Raggon, Jeffrey William;  
Shanker, Ravi Mysore; Vanderplas, Brian Clement;  
Urban, Frank John  
PATENT ASSIGNEE(S): Pfizer Products Inc., USA  
SOURCE: Eur. Pat. Appl., 42 pp.  
CODEN: EPXXDW  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 994105	A2	20000419	EP 1999-307987	19991011
EP 994105	A3	20000524		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6090942	A	20000718	US 1999-408998	19990929
CA 2285914	C	20030603	CA 1999-2285914	19991013
TR 9902565	A2	20000522	TR 1999-9902565	19991014
MX 9909446	A	20000531	MX 1999-9446	19991014
AU 9954009	A1	20000622	AU 1999-54009	19991014
ZA 9906499	A	20010417	ZA 1999-6499	19991014
JP 2000128864	A2	20000509	JP 1999-293733	19991015
CN 1256276	A	20000614	CN 1999-125439	19991015
BR 9904689	A	20001114	BR 1999-4689	19991015
US 6124457	A	20000926	US 2000-488245	20000120
PRIORITY APPLN. INFO.:			US 1998-104375P	P 19981015
			US 1999-145460P	P 19990723
			US 1999-408998	A3 19990929
OTHER SOURCE(S):	CASREACT 132:279118; MARPAT 132:279118			

Searcher : Shears 571-272-2528

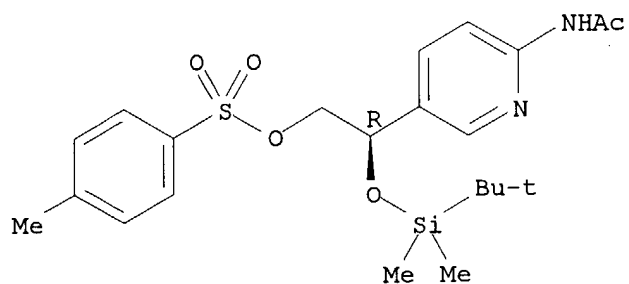
AB R3NHZCH(OR2)CH2R1 (Z = pyridine-2,5-diyl throughout) [R1 = halo, OSO2Me, OSO2Ph, etc.; R2 = tetrahydrofuranyl, -pyranyl, silyl protecting group; R3 = (un)substituted alkanoyl or -Bz] were prepared as intermediates for  $\beta$ 3-adrenergic agonists. Thus, N-(5-vinyl-2-pyridinyl)acetamide (preparation given) was asym. dihydroxylated and the mono-tosylated product O-protected to give (R)-AcNHZCH(OSiMe2CMe3)CH2OSO2C6H4Me-4. Conversion of the latter to aforementioned  $\beta$ 3-adrenergic agonists was given.

IT **263898-20-0P**  
 RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of 2-aminopyridines as drug intermediates)

RN 263898-20-0 CAPLUS

CN Acetamide, N-[5-[(1R)-1-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-[(4-methylphenyl)sulfonyl]oxy]ethyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L7 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:112347 CAPLUS

DOCUMENT NUMBER: 128:180342

TITLE: Preparation of 4-substituted quinolines having fungicidal activity

INVENTOR(S): Daeuble, John; Davis, L. Navell; Hellwig, Karin; Kirby, Neil; Parker, Marshall H.; Pieczko, Mary; Thomason, Lori K.

PATENT ASSIGNEE(S): DowElanco, USA

SOURCE: PCT Int. Appl., 44 pp.  
 CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

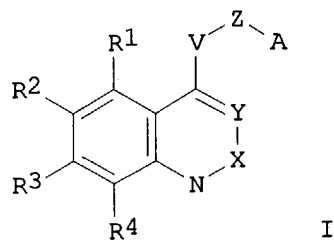
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9805645	A1	19980212	WO 1997-US13090	19970731
W:	AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, EE, GE, HU, IL, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, YU			
RW:	AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE			
AU 9738948	A1	19980225	AU 1997-38948	19970731
AU 723758	B2	20000907		

10/684146

EP 925282	A1	19990630	EP 1997-936228	19970731
R: DE, DK, ES, FR, GB, IT, NL				
BR 9711110	A	19990817	BR 1997-11110	19970731
CN 1228084	A	19990908	CN 1997-196937	19970731
JP 2001508029	T2	20010619	JP 1998-507989	19970731
PRIORITY APPLN. INFO.:			US 1996-22907P	P 19960801
			WO 1997-US13090	W 19970731
OTHER SOURCE(S):		MARPAT 128:180342		
GI				

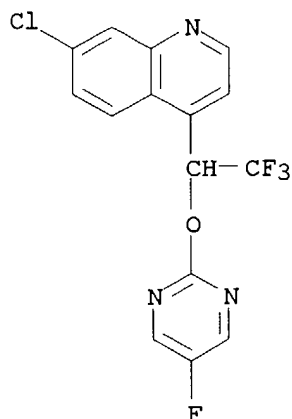


AB The title compds. [I; X = CR5 (wherein R5 = H, Cl, Me); Y = CR5 (R5 = H, Cl, Br); Z = O, S, SO, SO2, NR6 (R6 = H, Cl-4 alkyl, Cl-4 acyl, etc.); V = CR7R8 (R7, R8 = H, Cl-4 alkyl, Cl-4 alkenyl, etc.; R7R8 form a carbocyclic ring containing 4-6 carbon atoms); A = Cl-4 (un)substituted (un)saturated alkyl, C3-8 cycloalkyl, cycloalkenyl, (un)substituted Ph, etc.; R1-R4 = H, OH, NO2, etc.], useful as plant fungicides, were prepared. Thus, treatment of 4-hydroxymethyl-7-chloroquinoline with NaH in THF followed by addition of 2-chloro-3-trifluoromethylpyridine afforded I [X = Y = CH; V = CH2; Z = O; A = 3-trifluoromethyl-2-pyridyl; R3 = Cl; R1 = R2 = R4 = H] which showed 50-100% control against wheat powdery mildew at 100 ppm.

IT **203261-23-8P**  
 RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of 4-substituted quinolines having fungicidal activity)

RN 203261-23-8 CAPLUS

CN Quinoline, 7-chloro-4-[2,2,2-trifluoro-1-[(5-fluoro-2-pyrimidinyl)oxy]ethyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 14 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:31145 CAPLUS

DOCUMENT NUMBER: 128:102082

TITLE: Preparation of substituted sulfonamides as selective  $\beta$ -3 agonists for the treatment of diabetes and obesity

INVENTOR(S): Fisher, Michael H.; Naylor, Elizabeth M.; Parmee, Emma R.; Shih, Thomas; Ok, Hyun; Weber, Ann E.

PATENT ASSIGNEE(S): Merck and Co., Inc., USA

SOURCE: U.S., 30 pp., Cont.-in-part of U.S. 5,561,142.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

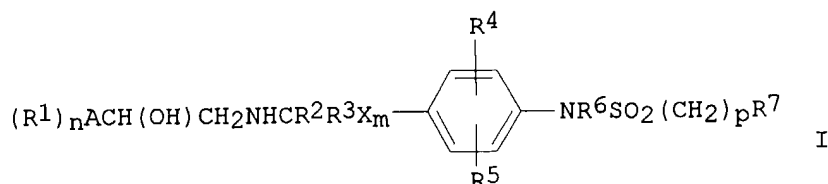
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5705515	A	19980106	US 1996-684901	19960725
US 5561142	A	19961001	US 1995-445630	19950522
CA 2261167	AA	19980205	CA 1997-2261167	19970721
WO 9804526	A1	19980205	WO 1997-US11999	19970721
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9737232	A1	19980220	AU 1997-37232	19970721
EP 915847	A1	19990519	EP 1997-934091	19970721
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2000516593	T2	20001212	JP 1998-508828	19970721
PRIORITY APPLN. INFO.:				US 1994-233166 B2 19940426
				US 1995-404565 B2 19950321

10/684146

US 1995-445630      A2 19950522  
US 1996-684901      A 19960725  
WO 1997-US11999      W 19970721

OTHER SOURCE(S):      MARPAT 128:102082  
GI



AB Substituted sulfonamides I [n = 0-5; m = 0, 1; p = 0-3; A = 5- or 6-membered heterocyclic ring or a fused heterocyclic ring; R<sup>1</sup> = OH, oxo, halo, cyano, alkyl, etc.; R<sup>2</sup>, R<sup>3</sup> = H, alkyl; X = CH<sub>2</sub>, CH<sub>2</sub>CH<sub>2</sub>, CH:CH, CH<sub>2</sub>O; R<sup>4</sup>, R<sup>5</sup> = H, alkyl, halo, etc.; R<sup>6</sup> = H, alkyl; R<sup>7</sup> = Z(R<sup>1α</sup>)<sub>n</sub> with R<sup>1α</sup> = R<sup>1</sup>, cycloalkyl, substituted Ph, heterocyclyl and Z = Ph, naphthyl, etc.], selective β<sub>3</sub> adrenergic receptor agonists with very little β<sub>1</sub> and β<sub>2</sub> adrenergic receptor activity (no data), were prepared. The compds. thus have potent activity in the treatment of Type II diabetes and obesity. The compds. are prepared by coupling an aminoalkylphenyl-sulfonamide with an appropriately substituted epoxide. E.g., reaction of (3-methyl-5-isoxazolyl)oxirane and 4-O<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub>, followed by Boc protection, gave N-[2-[4-(aminophenyl)]ethyl]-2-hydroxy-2-(3-methylisoxazol-5-yl)ethylcarbamic acid 1,1-dimethylethyl ester. The latter was reacted with 5-(1-(4-octylthiazol-2-yl)indolinesulfonyl chloride, followed by deprotection, to give N-[4-[2-[[2-hydroxy-2-methylisoxazol-4-yl]ethyl]amino]ethyl]phenyl]-1-(4-octylthiazol-2-yl)-5-indolinesulfonamide.

IT 201470-61-3P 201470-74-8P

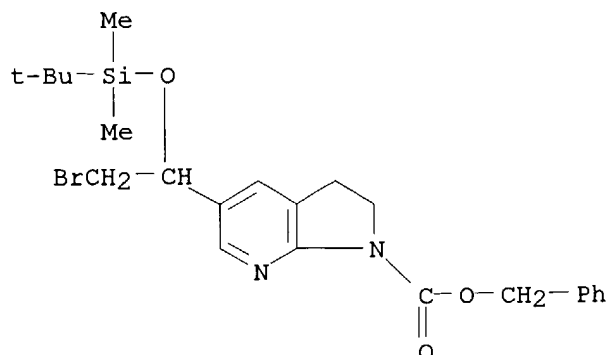
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of substituted sulfonamides as selective β-3 agonists for the treatment of diabetes and obesity)

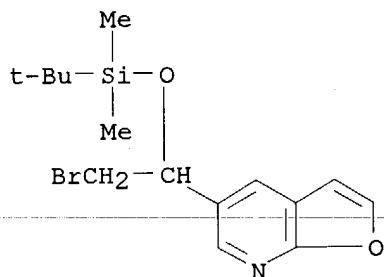
RN 201470-61-3 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-1-carboxylic acid, 5-[2-bromo-1-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]-2,3-dihydro-, phenylmethyl ester (9CI) (CA INDEX NAME)

10/684146



RN 201470-74-8 CAPLUS  
CN Furo[2,3-b]pyridine, 5-[2-bromo-1-[[ (1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 15 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1994:557652 CAPLUS  
DOCUMENT NUMBER: 121:157652  
TITLE: [[(Tetrazolylbiphenyl)methyl]amino]pyridinecarboxylates as Angiotensin II Receptor Antagonists  
INVENTOR(S): Winn, Martin; De, Biswanath; Zydowsky, Thomas M.; Kerkman, Daniel J.; Debernardis, John F.; Rosenberg, Saul H.; Shiosaki, Kazumi; Basha, Fatima Z.; Tasker, Andrew S.; et al.  
PATENT ASSIGNEE(S): Abbott laboratories, USA  
SOURCE: U.S., 98 pp. Cont.-in-part of U.S. Ser. No. 744,241. CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 4  
PATENT INFORMATION:

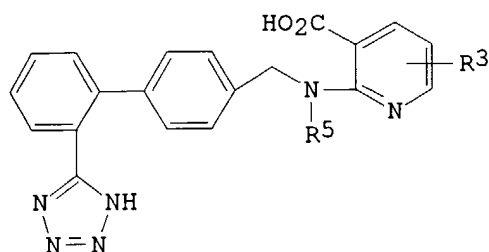
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5250548	A	19931005	US 1992-844351	19920302

Searcher : Shears 571-272-2528



10/684146

CA 2050723	AA	19920311	CA 1991-2050723	19910905
AU 9183744	A1	19920312	AU 1991-83744	19910909
AU 647174	B2	19940317		
JP 04261156	A2	19920917	JP 1991-258343	19910910
JP 07053551	A2	19950228	JP 1993-187412	19930630
PRIORITY APPLN. INFO.:			US 1990-580400	B2 19900910
			US 1991-744241	A2 19910815
OTHER SOURCE(S):	MARPAT	121:157652		
GI				



AB The title compds., [[(tetrazolylbiphenyl)methyl]amino]pyridinecarboxylates I (R3 = H, alkyl, halo; R5 = alkyl) were disclosed. Pharmacol. test data for I as angiotensin receptor antagonists were reported.

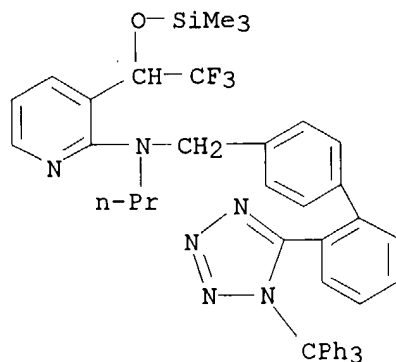
IT **157361-16-5P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as intermediate for

[[ (tetrazolylbiphenyl)methyl]amino]pyridinecarboxylate)

RN 157361-16-5 CAPLUS

CN 2-Pyridinamine, N-propyl-3-[2,2,2-trifluoro-1-[(trimethylsilyl)oxy]ethyl]-N-[[2'-[1-(triphenylmethyl)-1H-tetrazol-5-yl][1,1'-biphenyl]-4-yl]methyl]-(9CI) (CA INDEX NAME)

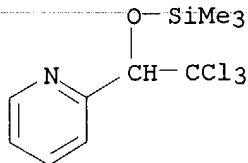


L7 ANSWER 16 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1982:68256 CAPLUS  
DOCUMENT NUMBER: 96:68256

Searcher : Shears 571-272-2528

10/684146

TITLE: New syntheses of trichloromethyl functional alcohols.  
Studies of their tranquilizing properties  
AUTHOR(S): Deleris, Gerard; Dunogues, Jacques; Babin, Pierre;  
Calas, Raymond; Bardone, Marie Claude; Guyonnet, Jean  
Claude  
CORPORATE SOURCE: Lab. Chim. Org. Composes Org. Silicium Etain, CNRS,  
Talence, 33405, Fr.  
SOURCE: European Journal of Medicinal Chemistry (1981), 16(6),  
533-7  
CODEN: EJMCA5; ISSN: 0009-4374  
DOCUMENT TYPE: Journal  
LANGUAGE: French  
OTHER SOURCE(S): CASREACT 96:68256  
AB CCl<sub>3</sub>CHROH (I, R = BuC.tplbond.C, Me<sub>3</sub>SiC.tplbond.C, Me<sub>3</sub>SiCH<sub>2</sub>C.tplbond.C,  
PhC.tplbond.C, 3-pyridylethynyl, EtO<sub>2</sub>CCH<sub>2</sub>, Et<sub>2</sub>NCOCH<sub>2</sub>, 2-pyridyl) were  
prepared by treating RSiMe<sub>3</sub> with chloral, and methanolysis of Cl<sub>3</sub>CCHROSiMe<sub>3</sub>.  
I(R = Et<sub>2</sub>NCOCH<sub>2</sub>, 2-pyridyl) had tranquilizing activity comparable to that  
of meprobamate, the pyridine derivative being free of convulsant  
side-effects.  
IT 80673-05-8P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and desilylation of)  
RN 80673-05-8 CAPLUS  
CN Pyridine, 2-[2,2,2-trichloro-1-[(trimethylsilyl)oxy]ethyl]- (9CI) (CA  
INDEX NAME)



L8 FILE 'CAOLD' ENTERED AT 11:43:55 ON 10 AUG 2004  
0 S L6

L9 FILE 'USPATFULL' ENTERED AT 11:44:01 ON 10 AUG 2004  
15 S L6

L9 ANSWER 1 OF 15 USPATFULL on STN

ACCESSION NUMBER: 2004:172841 USPATFULL  
TITLE: Process for preparing substituted pyridnes  
INVENTOR(S): Dow, Robert L., Waterford, CT, UNITED STATES  
Schneider, Steven R., Stonington, CT, UNITED STATES  
PATENT ASSIGNEE(S): Pfizer Inc (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004133005	A1	20040708
APPLICATION INFO.:	US 2003-684146	A1	20031010 (10)
RELATED APPLN. INFO.:	Division of Ser. No. US 2002-317720, filed on 12 Dec 2002, GRANTED, Pat. No. US 6670480 Division of Ser. No. US 2001-820137, filed on 28 Mar 2001, GRANTED, Pat. No.		

Searcher : Shears 571-272-2528

10/684146

US 6518431

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-193772P	20000331 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	PFIZER INC., PATENT DEPARTMENT, MS8260-1611, EASTERN POINT ROAD, GROTON, CT, 06340	
NUMBER OF CLAIMS:	48	
EXEMPLARY CLAIM:	1	
LINE COUNT:	2381	
CAS INDEXING IS AVAILABLE FOR THIS PATENT.		
AB	A process for preparing a compound of the formula ##STR1##	

wherein n, R.sup.1, R.sup.2, R.sup.3 and X are as defined above, used as  
an intermediate in the synthesis of  $\beta$ -adrenergic receptor agonists.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 2 OF 15 USPATFULL on STN

ACCESSION NUMBER: 2004:102001 USPATFULL  
TITLE: Processes and intermediates useful in preparing  
beta-3-adrenergic receptor agonists  
INVENTOR(S): Chambers, Robert J., Mystic, CT, UNITED STATES  
Dugger, Robert W., Stonington, CT, UNITED STATES  
Kang, Ming, Salem, CT, UNITED STATES  
Tao, Yong, Salem, CT, UNITED STATES  
Wong, John W., East Lyme, CT, UNITED STATES

PATENT ASSIGNEE(S): Pfizer Inc (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004077871	A1	20040422
APPLICATION INFO.:	US 2003-682762	A1	20031009 (10)
RELATED APPLN. INFO.:	Division of Ser. No. US 2003-370793, filed on 20 Feb 2003, GRANTED, Pat. No. US 6689888		

	NUMBER	DATE
PRIORITY INFORMATION:	US 2002-360286P	20020227 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	PFIZER INC., PATENT DEPARTMENT, MS8260-1611, EASTERN POINT ROAD, GROTON, CT, 06340	
NUMBER OF CLAIMS:	11	
EXEMPLARY CLAIM:	1	
LINE COUNT:	714	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides processes useful in the preparation of  
certain  $\beta$ .sub.3-adrenergic receptor agonists of the structural  
formula ##STR1##

the pharmaceutically acceptable salts thereof, and the hydrates of said  
pharmaceutically acceptable salts, wherein HET is as described herein.  
The invention further provides intermediates useful in the preparation

of such agonists, and processes useful in the production of such intermediates.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 3 OF 15 USPATFULL on STN

ACCESSION NUMBER: 2003:289154 USPATFULL  
 TITLE: Beta3 adrenergic receptor agonists and uses thereof  
 INVENTOR(S): Day, Robert F., Groton, CT, UNITED STATES  
 Lafontaine, Jennifer A., San Diego, CA, UNITED STATES  
 PATENT ASSIGNEE(S): Pfizer Inc. (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003203913	A1	20031030
	US 6706743	B2	20040316
APPLICATION INFO.:	US 2003-379976	A1	20030305 (10)
RELATED APPLN. INFO.:	Division of Ser. No. US 2001-981551, filed on 17 Oct 2001, GRANTED, Pat. No. US 6566377		

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-242274P	20001020 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	PFIZER INC., PATENT DEPARTMENT, MS8260-1611, EASTERN POINT ROAD, GROTON, CT, 06340	
NUMBER OF CLAIMS:	22	
EXEMPLARY CLAIM:	1	
LINE COUNT:	3395	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The instant invention provides  $\beta$ .sub.3 adrenergic receptor agonists of structural Formula (I), ##STR1##

the stereoisomers and prodrugs thereof, and the pharmaceutically acceptable salts of the compounds, stereoisomers and prodrugs, wherein Ar, R, R.sub.1, R.sub.2, R.sub.3, R.sub.4, R.sub.5, R.sub.6, R.sub.7, R.sub.8, X, and Y, are as defined herein.

The invention further provides intermediates useful in the preparation of the compounds of Formula (I), to combinations of the compounds of Formula (I), the stereoisomers and prodrugs thereof, and the pharmaceutically acceptable salts of the compounds, stereoisomers and prodrugs, with anti-obesity agents; to pharmaceutical compositions comprising the compounds of Formula (I), the stereoisomers and prodrugs thereof, and the pharmaceutically acceptable salts of the compounds, stereoisomers and prodrugs, or pharmaceutical compositions comprising the compounds of Formula (I), the stereoisomers and prodrugs thereof, and the pharmaceutically acceptable salts of the compounds, stereoisomers and prodrugs, and anti-obesity agents; and methods of treating  $\beta$ .sub.3 adrenergic receptor-mediated diseases, conditions, or disorders in a mammal which methods comprise administering to the mammal an effective amount of a compound of Formula (I), a stereoisomer or prodrug thereof, or a pharmaceutical composition thereof; or a combination of a compound of Formula (I), a pharmaceutically acceptable salt of the compound, stereoisomer, or prodrug, and an anti-obesity

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agent, or a pharmaceutical composition thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 4 OF 15 USPATFULL on STN

ACCESSION NUMBER: 2003:282703 USPATFULL  
TITLE: Processes and intermediates useful in preparing  
beta3-adrenergic receptor agonists  
INVENTOR(S): Chambers, Robert J., Mystic, CT, UNITED STATES  
Dugger, Robert W., Stonington, CT, UNITED STATES  
Kang, Ming, Salem, CT, UNITED STATES  
Tao, Yong, Salem, CT, UNITED STATES  
Wong, John W., East Lyme, CT, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003199046	A1	20031023
	US 6689888	B2	20040210
APPLICATION INFO.:	US 2003-370793	A1	20030220 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2002-360286P	20020227 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	Pfizer Inc., PATENT DEPARTMENT, MS8260-1611, EASTERN POINT ROAD, GROTON, CT, 06340	
NUMBER OF CLAIMS:	11	
EXEMPLARY CLAIM:	1	
LINE COUNT:	714	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides processes useful in the preparation of  
certain  $\beta$ .sub.3-adrenergic receptor agonists of the structural  
formula ##STR1##

the pharmaceutically acceptable salts thereof, and the hydrates of said  
pharmaceutically acceptable salts, wherein HET is as described herein.  
The invention further provides intermediates useful in the preparation  
of such agonists, and processes useful in the production of such  
intermediates.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 5 OF 15 USPATFULL on STN

ACCESSION NUMBER: 2003:238528 USPATFULL  
TITLE: Beta3 adrenergic receptor agonist crystal forms,  
processes for the production thereof, and uses thereof  
INVENTOR(S): Krzyaniak, Joseph F., Pawcatuck, CT, UNITED STATES  
Lafontaine, Jennifer A., San Diego, CA, UNITED STATES  
PATENT ASSIGNEE(S): Pfizer Inc. (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003166686	A1	20030904
	US 6689800	B2	20040210
APPLICATION INFO.:	US 2003-373473	A1	20030225 (10)

Searcher : Shears 571-272-2528

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	NUMBER	DATE
PRIORITY INFORMATION:	US 2002-360252P	20020227 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	PFIZER INC., PATENT DEPARTMENT, MS8260-1611, EASTERN POINT ROAD, GROTON, CT, 06340	
NUMBER OF CLAIMS:	12	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1002	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides the tosylate salt of (R)-2-(2-(4-oxazol-4-yl-phenoxy)-ethylamino)-1-pyridin-3-yl-ethanol, the monohydrate of such salt, processes useful in the preparation of such salt and such monohydrate, pharmaceutical compositions comprising such salt, or such monohydrate, methods of treating  $\beta$ .sub.3-adrenergic receptor-mediated diseases, conditions, and disorders in a mammal using such salt, such monohydrate, or such pharmaceutical compositions; and methods of increasing the content of lean meat in edible animals using such salt, such monohydrate, or such pharmaceutical compositions.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 6 OF 15 USPATFULL on STN  
ACCESSION NUMBER: 2003:166812 USPATFULL  
TITLE: Process for preparing substituted pyridines  
INVENTOR(S): Dow, Robert L., Waterford, CT, UNITED STATES  
Schneider, Steven R., Stonington, CT, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003114670	A1	20030619
	US 6670480	B2	20031230
APPLICATION INFO.:	US 2002-317720	A1	20021212 (10)
RELATED APPLN. INFO.:	Division of Ser. No. US 2001-820137, filed on 28 Mar 2001, GRANTED, Pat. No. US 6518431		

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-193772P	20000331 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	PFIZER INC., PATENT DEPARTMENT, MS8260-1611, EASTERN POINT ROAD, GROTON, CT, 06340	
NUMBER OF CLAIMS:	48	
EXEMPLARY CLAIM:	1	
LINE COUNT:	2376	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A process for preparing a compound of the formula ##STR1##

wherein n, R.sup.1, R.sup.2, R.sup.3 and X are as defined above, used as an intermediate in the synthesis of  $\beta$ -adrenergic receptor agonists.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Searcher : Shears 571-272-2528

L9 ANSWER 7 OF 15 USPATFULL on STN

ACCESSION NUMBER: 2003:153493 USPATFULL  
 TITLE: Novel phenylheteroalkylamine derivatives  
 INVENTOR(S): Birkinshaw, Tim, Leicestershire, UNITED KINGDOM  
 Cheshire, David, Leicestershire, UNITED KINGDOM  
 Mete, Antonio, Leicestershire, UNITED KINGDOM

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003105161	A1	20030605
	US 6743939	B2	20040601
APPLICATION INFO.:	US 2002-204815	A1	20020822 (10)
	WO 2001-SE370		20010220

	NUMBER	DATE
PRIORITY INFORMATION:	GB 2000-4149	20000223
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	FISH & RICHARDSON PC, 225 FRANKLIN ST, BOSTON, MA, 02110	
NUMBER OF CLAIMS:	25	
EXEMPLARY CLAIM:	1	
LINE COUNT:	2420	
CAS INDEXING IS AVAILABLE FOR THIS PATENT.		
AB	There are provided novel compounds of formula (I), ##STR1##	

wherein R.sup.1, R.sup.2, X, Y, V, W and Z are as defined in the specification, and pharmaceutically acceptable salts thereof, and enantiomers and racemates thereof; together with processes for their preparation, compositions containing them and their use in therapy. The compounds are inhibitors of nitric oxide synthase and are thereby particularly useful in the treatment or prophylaxis of inflammatory disease and pain.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 8 OF 15 USPATFULL on STN

ACCESSION NUMBER: 2002:236049 USPATFULL  
 TITLE: Beta3 agonists and uses thereof  
 INVENTOR(S): Dow, Robert L., Waterford, CT, UNITED STATES  
 Paight, Ernest S., Pawcatuck, CT, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002128247	A1	20020912
APPLICATION INFO.:	US 2002-86588	A1	20020228 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2001-272681P	20010301 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	Gregg C. Benson, Pfizer Inc., Patent Department, MS 4159, Eastern Point Road, Groton, CT, 06340	
NUMBER OF CLAIMS:	69	

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EXEMPLARY CLAIM: 1

LINE COUNT: 3087

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Sulfamide compounds having formula (I) are described as well as their use in the treatment of diseases dependent on the signaling pathways associated with  $\beta$ -adrenergic receptors, such as obesity, diabetes, hypertension, gastrointestinal hypo- or hyper-motility and cardiovascular diseases. ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 9 OF 15 USPATFULL on STN

ACCESSION NUMBER: 2002:149318 USPATFULL

TITLE: Process for preparing substituted pyridines

INVENTOR(S): Dow, Robert L., Waterford, CT, UNITED STATES

Schneider, Steven R., Stonington, CT, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002077478	A1	20020620
	US 6518431	B2	20030211
APPLICATION INFO.:	US 2001-820137	A1	20010328 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-193772P	20000331 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	Gregg C. Benson, Pfizer Inc., Patent Department, MS 4159, Eastern Point Road, Groton, CT, 06340	

NUMBER OF CLAIMS: 47

EXEMPLARY CLAIM: 1

LINE COUNT: 2383

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A process for preparing a compound of the formula ##STR1##

wherein n, R.sup.1, R.sup.2, R.sup.3 and X are as defined above, used as an intermediate in the synthesis of P-adrenergic receptor agonists.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 10 OF 15 USPATFULL on STN

ACCESSION NUMBER: 2002:99491 USPATFULL

TITLE: Beta3 adrenergic receptor agonists and uses thereof

INVENTOR(S): Day, Robert F., Groton, CT, UNITED STATES

Lafontaine, Jennifer A., Mystic, CT, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002052392	A1	20020502
	US 6566377	B2	20030520
APPLICATION INFO.:	US 2001-981551	A1	20011017 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-242274P	20001020 (60)

Searcher : Shears 571-272-2528



10/684146

DOCUMENT TYPE: Utility  
FILE SEGMENT: APPLICATION  
LEGAL REPRESENTATIVE: Gregg C. Benson, Pfizer Inc., Patent Department, MS  
4159, Eastern Point Road, Groton, CT, 06340  
NUMBER OF CLAIMS: 22  
EXEMPLARY CLAIM: 1  
LINE COUNT: 3410  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.  
AB The instant invention provides  $\beta$ .sub.3 adrenergic receptor agonists  
of structural Formula (I), ##STR1##

the stereoisomers and prodrugs thereof, and the pharmaceutically  
acceptable salts of the compounds, stereoisomers and prodrugs, wherein  
Ar, R, R.sub.1, R.sub.2, R.sub.3, R.sub.4, R.sub.5, R.sub.6, R.sub.7,  
R.sub.8, X, and Y, are as defined herein.

The invention further provides intermediates useful in the preparation  
of the compounds of Formula (I), to combinations of the compounds of  
Formula (I), the stereoisomers and prodrugs thereof, and the  
pharmaceutically acceptable salts of the compounds, stereoisomers and  
prodrugs, with anti-obesity agents; to pharmaceutical compositions  
comprising the compounds of Formula (I), the stereoisomers and prodrugs  
thereof, and the pharmaceutically acceptable salts of the compounds,  
stereoisomers and prodrugs, or pharmaceutical compositions comprising  
the compounds of Formula (I), the stereoisomers and prodrugs thereof,  
and the pharmaceutically acceptable salts of the compounds,  
stereoisomers and prodrugs, and anti-obesity agents; and methods of  
treating  $\beta$ .sub.3 adrenergic receptor-mediated diseases, conditions,  
or disorders in a mammal which methods comprise administering to the  
mammal an effective amount of a compound of Formula (I), a stereoisomer  
or prodrug thereof, or a pharmaceutical composition thereof; or a  
combination of a compound of Formula (I), a pharmaceutically acceptable  
salt of the compound, stereoisomer, or prodrug, and an anti-obesity  
agent, or a pharmaceutical composition thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 11 OF 15 USPATFULL on STN  
ACCESSION NUMBER: 2000:128489 USPATFULL  
TITLE: Process and intermediates for a  $\beta$ .sub.3  
-adrenergic receptor agonist  
INVENTOR(S): DeVries, Keith M., Chester, CT, United States  
Raggon, Jeffrey W., Uncasville, CT, United States  
Shanker, Ravi M., Groton, CT, United States  
Urban, Frank J., Waterford, CT, United States  
Vanderplas, Brian C., Old Lyme, CT, United States  
PATENT ASSIGNEE(S): Pfizer Inc., New York, NY, United States (U.S.  
corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6124457		20000926
APPLICATION INFO.:	US 2000-488245		20000120 (9)
RELATED APPLN. INFO.:	Division of Ser. No. US 1999-408998, filed on 29 Sep 1999		

Searcher : Shears 571-272-2528

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	NUMBER	DATE
PRIORITY INFORMATION:	US 1999-145460P	19990723 (60)
	US 1998-104375P	19981015 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Rotman, Alan L.	
ASSISTANT EXAMINER:	Desai, Rita	
LEGAL REPRESENTATIVE:	Richardson, Peter C., Benson, Gregg C., Ronau, Robert T.	
NUMBER OF CLAIMS:	10	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1126	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The instant invention relates to intermediates of Formula II, ##STR1## wherein R.sup.1, R.sup.2 and R.sup.3 are as defined in the specification, and to processes for preparing such intermediates. This invention also relates to processes for preparing compounds of Formula III, ##STR2## and enantiomers thereof, wherein R.sup.2, R.sup.3 and R.sup.4 are as defined in the specification. Compounds of Formula II and Formula III are intermediates in the preparation of a potent  $\beta$ .sub.3 adrenergic receptor agonist. The instant invention also relates to processes for preparing the  $\beta$ .sub.3 adrenergic receptor agonist using the compounds of Formula II and Formula III.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 12 OF 15 USPATFULL on STN

ACCESSION NUMBER: 2000:121527 USPATFULL

TITLE: 4-substituted quinoline derivatives having fungicidal activity

INVENTOR(S): Daeuble, John, 2783 Wooded Glen Ct., Indianapolis, IN, United States 46268  
Davis, L. Navell, 10076 N. 700E, Morristown, IN, United States 46161  
Hellwig, Karin, 4778 Stansbury La., Indianapolis, IN, United States 46254  
Kirby, Neil, 13911 Stonemill Cir., Carmel, IN, United States 46032  
Parker, Marshall H., 771 Arrowwood Dr., Carmel, IN, United States 46033  
Pieczko, Mary, 5323 Holly Springs W., Indianapolis, IN, United States 46254  
Thomason, Lori K., 1756 Shorter Dr., Indianapolis, IN, United States 46214

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6117884		20000912
APPLICATION INFO.:	US 1997-904282		19970731 (8)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Dees, Jose' G.		
ASSISTANT EXAMINER:	Qozi, Sabiha N.		
LEGAL REPRESENTATIVE:	Corvin, Carl D., Stuart, Donald R.		
NUMBER OF CLAIMS:	13		

Searcher : Shears 571-272-2528

EXEMPLARY CLAIM: 1  
LINE COUNT: 741

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention provides compounds of formula (1) ##STR1## wherein the substituents are described in the specification. The compounds of formula (1) are plant fungicides.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 13 OF 15 USPATFULL on STN  
ACCESSION NUMBER: 2000:92097 USPATFULL  
TITLE: Process and intermediates for a  $\beta$ .sub.3  
-adrenergic receptor agonist  
INVENTOR(S): DeVries, Keith M., Chester, CT, United States  
Raggon, Jeffrey W., Uncasville, CT, United States  
Shanker, Ravi M., Groton, CT, United States  
Urban, Frank J., Waterford, CT, United States  
Vanderplas, Brian C., Old Lyme, CT, United States  
PATENT ASSIGNEE(S): Pfizer Inc., New York, NY, United States (U.S.  
corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6090942		20000718
APPLICATION INFO.:	US 1999-408998		19990929 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 1998-104375P	19981015 (60)
	US 1999-145460P	19990723 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Rotman, Alan L.	
ASSISTANT EXAMINER:	Desai, Rita	
LEGAL REPRESENTATIVE:	Richardson, Peter C., Benson, Gregg C., Ronau, Robert T.	
NUMBER OF CLAIMS:	10	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1124	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The instant invention relates to intermediates of Formula II, ##STR1## wherein R.sup.1, R.sup.2 and R.sup.3 are as defined in the specification, and to processes for preparing such intermediates. This invention also relates to processes for preparing compounds of Formula III, ##STR2## and enantiomers thereof, wherein R.sup.2, R.sup.3 and R.sup.4 are as defined in the specification. Compounds of Formula II and Formula III are intermediates in the preparation of a potent  $\beta$ .sub.3 adrenergic receptor agonist. The instant invention also relates to processes for preparing the  $\beta$ .sub.3 adrenergic receptor agonist using the compounds of Formula II and Formula III.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 14 OF 15 USPATFULL on STN  
ACCESSION NUMBER: 1998:1798 USPATFULL  
TITLE: Substituted sulfonamides as selective  $\beta$ -3 agonists

10/684146

INVENTOR(S): for the treatment of diabetes and obesity  
Fisher, Michael H., Ringoes, NJ, United States  
Naylor, Elizabeth M., Scotch Plains, NJ, United States  
Parmee, Emma R., Hoboken, NJ, United States  
Shih, Thomas, Edison, NJ, United States  
Ok, Hyun, Edison, NJ, United States  
Weber, Ann E., Scotch Plains, NJ, United States  
PATENT ASSIGNEE(S): Merck & Co., Inc., Rahway, NJ, United States (U.S.  
corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5705515		19980106
APPLICATION INFO.:	US 1996-684901		19960725 (8)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1995-445630, filed on 22 May 1995, now patented, Pat. No. US 5561142 which is a continuation-in-part of Ser. No. US 1995-404565, filed on 21 Mar 1995, now abandoned which is a continuation-in-part of Ser. No. US 1994-233166, filed on 26 Apr 1994, now abandoned		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Davis, Zinna Northington		
LEGAL REPRESENTATIVE:	Yang, Mollie M., Rose, David L.		
NUMBER OF CLAIMS:	13		
EXEMPLARY CLAIM:	1		
LINE COUNT:	1948		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Substituted sulfonamides are selective  $\beta$ .sub.3 adrenergic receptor agonists with very little  $\beta$ .sub.1 and  $\beta$ .sub.2 adrenergic receptor activity and as such the compounds are capable of increasing lipolysis and energy expenditure in cells. The compounds thus have potent activity in the treatment of Type II diabetes and obesity. The compounds can also be used to lower triglyceride levels and cholesterol levels or raise high density lipoprotein levels or to decrease gut motility. In addition, the compounds can be used to reduced neurogenic inflammation or as antidepressant agents. The compounds are prepared by coupling an aminoalkylphenyl-sulfonamide with an appropriately substituted epoxide. Compositions and methods for the use of the compounds in the treatment of diabetes and obesity and for lowering triglyceride levels and cholesterol levels or raising high density lipoprotein levels or for increasing gut motility are also disclosed.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 15 OF 15 USPATFULL on STN

ACCESSION NUMBER: 93:82865 USPATFULL  
TITLE: Angiotensin II receptor antagonists  
INVENTOR(S): Winn, Martin, Deerfield, IL, United States  
De, Biswanath, Buffalo Grove, IL, United States  
Zydowsky, Thomas M., Waukegan, IL, United States  
Kerkman, Daniel J., Lake Villa, IL, United States  
DeBernardis, John F., Lindenhurst, IL, United States  
Rosenberg, Saul H., Libertyville, IL, United States  
Shiosaki, Kazumi, Libertyville, IL, United States  
Basha, Fatima Z., Lake Forest, IL, United States

Searcher : Shears 571-272-2528

10/684146

PATENT ASSIGNEE(S): Tasker, Andrew S., Lindenhurst, IL, United States  
von Geldern, Thomas W., Richmond, IL, United States  
Kester, Jeffrey A., Deerfield, IL, United States  
Boyd, Steven, Mundelein, IL, United States  
Yamamoto, Diane M., Gurnee, IL, United States  
Fung, Anthony K. L., Gurnee, IL, United States  
Abbott Laboratories, Abbott Park, IL, United States  
(U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5250548		19931005
APPLICATION INFO.:	US 1992-844351		19920302 (7)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1991-744241, filed on 15 Aug 1991 which is a continuation-in-part of Ser. No. US 1990-580400, filed on 10 Sep 1990, now abandoned		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Ford, John M.		
ASSISTANT EXAMINER:	Gupta, Y. N.		
LEGAL REPRESENTATIVE:	Crowley, Steven R.		
NUMBER OF CLAIMS:	16		
EXEMPLARY CLAIM:	1		
LINE COUNT:	7545		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds are disclosed having the formula: ##STR1## The compounds of the invention are angiotensin II receptor antagonists.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

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